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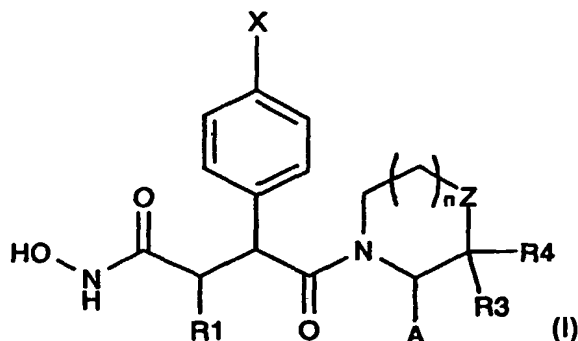
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ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: HYDROXAMIC ACID DERIVATIVES



(57) Abstract: Novel hydroxamic acid derivatives, e.g.,
of formula (I), wherein R₁, R₂, R₃ and R₄ are as defined,
are found to be useful as pharmaceuticals, e.g., for the
suppression of TNF release and the treatment of autoim-
mune and inflammatory diseases, e.g., multiple sclerosis
and rheumatoid arthritis. Methods of making the com-
pounds, novel intermediates, and pharmaceutical com-
positions comprising the compounds are provided.

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INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07D295/18 C07D241/24 C07D211/60 C07D207/16 A61K31/40 A61K31/44 A61K31/535 A61P29/00		
According to International Patent Classification (IPC) or to both national classification and IPC		
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC 7 C07D A61K A61P		
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched		
Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 97 22587 A (CIBA GEIGY AG ;PARKER DAVID THOMAS (US)) 26 June 1997 (1997-06-26) claims ---	1-11
A	WO 96 33172 A (RIZZI JAMES P ;PFIZER (US); PISCOPIO ANTHONY D (US)) 24 October 1996 (1996-10-24) claims ---	1-11
A	WO 98 27069 A (NEYA MASAHIRO ;OKU TERUO (JP); SATO KENTARO (JP); FUJISAWA PHARMAC) 25 June 1998 (1998-06-25) claims -----	1-11
<div style="display: flex; justify-content: space-between;"> <input type="checkbox"/> Further documents are listed in the continuation of box C. <input checked="" type="checkbox"/> Patent family members are listed in annex. </div>		
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Date of the actual completion of the international search <div style="text-align: center; font-weight: bold;">14 October 2003</div>		Date of mailing of the international search report <div style="text-align: center; font-weight: bold;">27/10/2003</div>
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016		Authorized officer <div style="text-align: center; font-weight: bold;">Chouly, J</div>

INTERNATIONAL SEARCH REPORT

International Application No.
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Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 5,9 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Search No

PCT/EP 03/03644

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9722587	A	26-06-1997	AT 219058 T 15-06-2002
			AU 709489 B2 26-08-1999
			AU 1140697 A 14-07-1997
			BR 9612136 A 13-07-1999
			CA 2238633 A1 26-06-1997
			CZ 9801854 A3 16-09-1998
			DE 69621830 D1 18-07-2002
			DE 69621830 T2 09-01-2003
			DK 873312 T3 07-10-2002
			EA 2019 B1 24-12-2001
			WO 9722587 A1 26-06-1997
			EP 0873312 A1 28-10-1998
			ES 2178724 T3 01-01-2003
			HK 1011536 A1 02-05-2003
			HU 0000214 A2 28-09-2000
			IL 124524 A 01-12-2002
			JP 2000502088 T 22-02-2000
			NO 982579 A 05-06-1998
			NZ 324287 A 28-10-1999
			PL 327450 A1 07-12-1998
			PT 873312 T 29-11-2002
			SI 873312 T1 31-12-2002
			SK 78998 A3 11-02-1999
			TR 9801105 T2 21-08-1998
			TW 453995 B 11-09-2001
			US 5770624 A 23-06-1998
			ZA 9610532 A 24-10-1997
WO 9633172	A	24-10-1996	CA 2218503 A1 24-10-1996
			WO 9633172 A1 24-10-1996
			AT 198326 T 15-01-2001
			AU 694635 B2 23-07-1998
			AU 5080296 A 31-10-1996
			BR 9602001 A 07-04-1998
			CN 1304930 A 25-07-2001
			CN 1140165 A , B 15-01-1997
			CZ 9601130 A3 13-11-1996
			DE 69519751 D1 01-02-2001
			DE 69519751 T2 19-04-2001
			DK 821671 T3 23-04-2001
			EP 0821671 A1 04-02-1998
			FI 973974 A 16-10-1997
			GR 3035347 T3 31-05-2001
			IL 117868 A 14-06-2001
			JP 10507466 T 21-07-1998
			JP 3053222 B2 19-06-2000
			KR 219976 B1 01-09-1999
			NO 961585 A 21-10-1996
			NZ 286417 A 26-06-1998
			PL 184847 B1 31-12-2002
			RU 2146671 C1 20-03-2000
			SG 43350 A1 17-10-1997
			TR 960966 A2 21-11-1996
			TW 418197 B 11-01-2001
			US 5861510 A 19-01-1999
			ZA 9603130 A 20-10-1997
WO 9827069	A	25-06-1998	AU 5412298 A 15-07-1998

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9827069	A	EP 0948489 A1	13-10-1999
		WO 9827069 A1	25-06-1998
		JP 2001506257 T	15-05-2001
		KR 2000057595 A	25-09-2000
		US 2003060473 A1	27-03-2003
		US 6333324 B1	25-12-2001
		US 2002128270 A1	12-09-2002
		ZA 9711284 A	23-06-1998